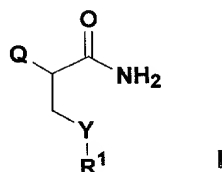


CLAIMS

What is claimed is:

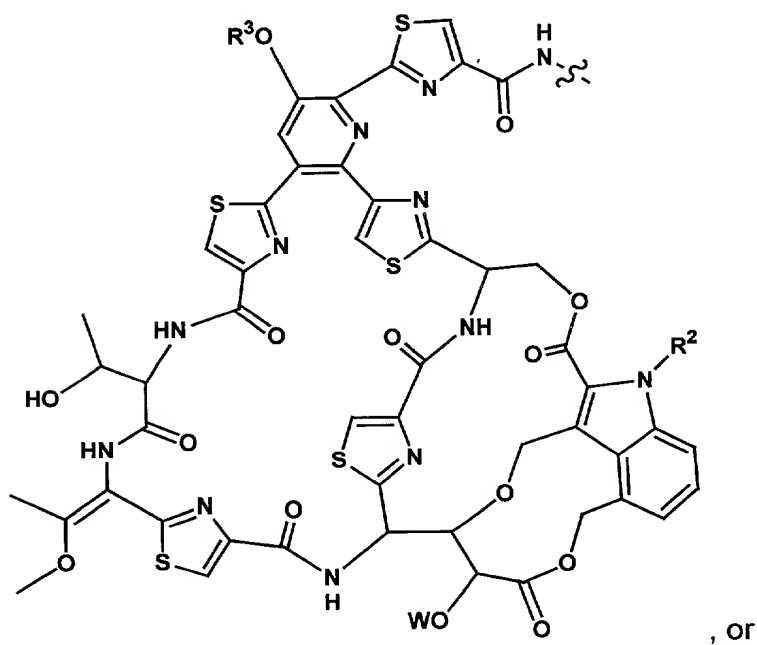
- 5 1. A compound of Formula I, including pharmaceutically acceptable salts thereof,



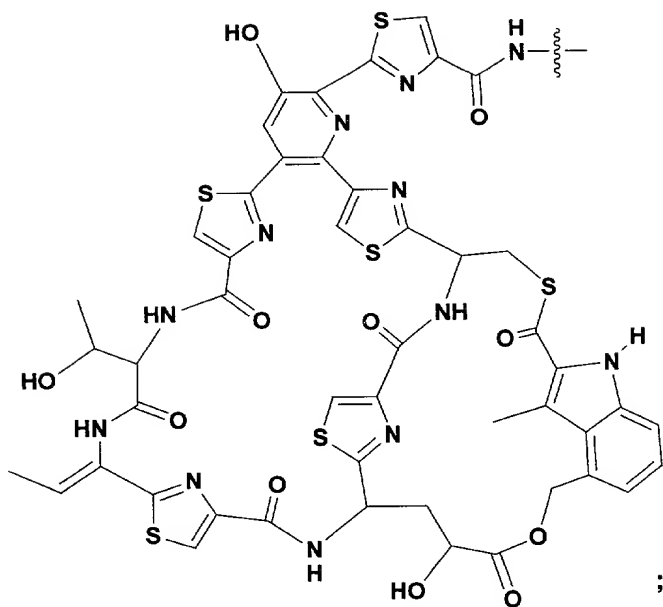
wherein:

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Q is a residue of a thiazolyl peptide antibiotic selected from:



78

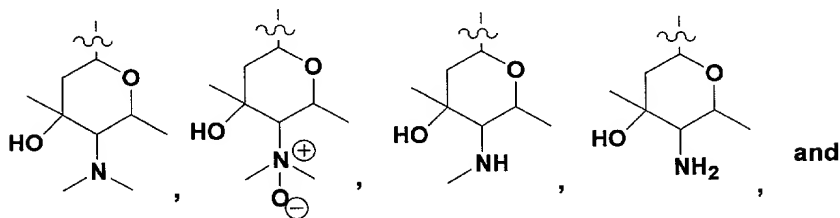


Y is NR or S(O)<sub>m</sub>;

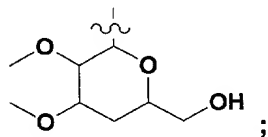
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m is 0, 1, or 2;

W is selected from the group consisting of hydrogen,



and

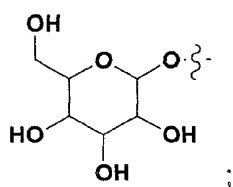


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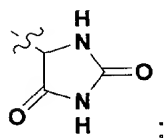
R is selected from the group consisting of hydrogen, hydroxy, C<sub>1-6</sub>alkoxy,  $-\text{[(CH}_2\text{)}_2\text{O]}_p\text{(CH}_2\text{)}_2\text{R}^4$ ,  $-\text{C(O)C}_{1-6}\text{alkyl}$ ,  $-\text{C(O)C}_{1-6}\text{alkylCO}_2\text{H}$ ,  $-\text{C(O)NHC}_{1-6}\text{alkyl}$  and C<sub>1-8</sub>alkyl, in which said C<sub>1-8</sub>alkyl is optionally substituted by one to six

hydroxy and optionally substituted by one to two same or different substituents selected from the group consisting of (a)-(h):

- 5 (a)  $\text{CO}_2\text{R}^5$ ;  
 (b)  $\text{SO}_3\text{H}$ ;  
 (c)  $\text{NR}^6\text{R}^7$ ;  
 (d) heteroaryl, in which said heteroaryl is selected from the group consisting of pyridinyl, pyrimidinyl, pyrazolyl, pyrazinyl, pyrrolyl, imidazolyl, triazolyl and tetrazolyl, and in which said heteroaryl is  
 10 optionally substituted with one or two same or different nitro or  $\text{C}_{1-4}$ alkyl;  
 (e) phenyl, in which said phenyl is optionally substituted with one to three  $\text{C}_{1-4}$ alkoxy or optionally substituted with one



(f)

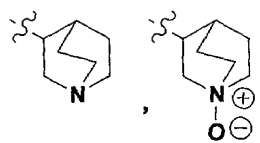


- 20 (g)  $\text{C}_{1-4}$ alkoxy; and

- (h)  $-\text{C}(\text{O})\text{NH}$ -heteroaryl, in which said heteroaryl is selected from the group consisting of pyridinyl, pyrimidinyl, pyrazolyl, pyrrolyl, imidazolyl, triazolyl and tetrazolyl;

25

$\text{R}^1$  is selected from the group consisting of:

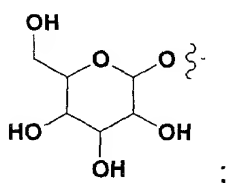


hydrogen,  $-[(CH_2)_2O]_p(CH_2)_2R^4$  and  $C_{1-8}$ alkyl, in which said  $C_{1-8}$ alkyl is optionally substituted by one to six hydroxy and optionally substituted by one to two same or different substituents selected from the group consisting of (a)-(h):

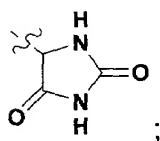
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- (a)  $CO_2R^5$ ;
- (b)  $SO_3H$ ;
- (c)  $NR^6R^7$
- (d) heteroaryl, in which said heteroaryl is selected from the group consisting of pyridinyl, pyrimidinyl, pyrazolyl, pyrazinyl, pyrrolyl, imidazolyl, triazolyl and tetrazolyl, and in which said heteroaryl is optionally substituted with one or two same or different nitro or  $C_{1-4}$ alkyl;
- (e) phenyl, in which said phenyl is optionally substituted with one to three  $C_{1-4}$ alkoxy or optionally substituted with one

15



(f)

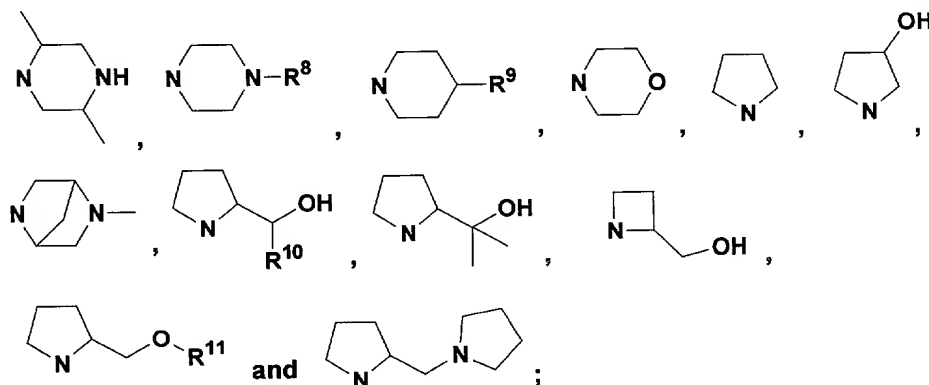


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- (g)  $C_{1-4}$ alkoxy; and
- (h)  $-C(O)NH$ -heteroaryl, in which said heteroaryl is selected from the group consisting of pyridinyl, pyrimidinyl, pyrazolyl, pyrrolyl, imidazolyl, triazolyl and tetrazolyl;

25

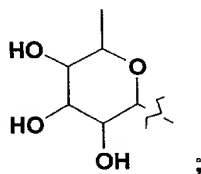
or R and R<sup>1</sup> together with the nitrogen to which they are attached form a heteroalicyclic selected from the group consisting of:



5

R<sup>2</sup> is selected from the group consisting of hydrogen, hydroxy, -OC(O)C<sub>1-6</sub>alkyl and -OC(O)NHC<sub>1-6</sub>alkyl;

R<sup>3</sup> is hydrogen or



10

p and p' are each independently selected from the group consisting of 1, 2 and 3;

15 R<sup>4</sup> and R<sup>4'</sup> are each independently selected from the group consisting of hydroxy, amino and C<sub>1-4</sub>alkoxy;

R<sup>5</sup> and R<sup>5'</sup> are each independently selected from the group consisting of hydrogen, C<sub>1-6</sub>alkyl and phenylmethyl;

20 R<sup>6</sup>, R<sup>6'</sup>, R<sup>7</sup> and R<sup>7'</sup> are each independently selected from the group consisting of hydrogen, -C(O)C<sub>1-6</sub>alkyl, pyridinyl and C<sub>1-6</sub>alkyl, in which said

C<sub>1-6</sub>alkyl is optionally substituted with one hydroxy, amino, C<sub>1-4</sub>alkylamino, or di(C<sub>1-4</sub>alkyl)amino,

or R<sup>6</sup> and R<sup>7</sup> taken together with the nitrogen to which they are attached,

- 5 or R<sup>6</sup> and R<sup>7</sup> taken together with the nitrogen to which they are attached form a heteroalicyclic selected from the group consisting of succinimid-1-yl, pyrrolidin-2-one-1-yl, pyrrolidin-1-yl, piperidin-1-yl, 4-hydroxypiperidin-1-yl, morpholin-4-yl, piperazin-1-yl and 4-methylpiperazin-1-yl;

- 10 R<sup>8</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, -C(O)C<sub>1-6</sub>alkyl, -[(CH<sub>2</sub>)<sub>2</sub>O]<sub>q</sub>(CH<sub>2</sub>)<sub>2</sub>R<sup>8</sup>, pyridinyl and pyrimidinyl, in which said C<sub>1-6</sub>alkyl is optionally substituted with one di(C<sub>1-4</sub>alkyl)amino, morpholin-4-yl, CO<sub>2</sub>H, -CO<sub>2</sub>C<sub>1-4</sub>alkyl, tri(C<sub>1-4</sub>alkoxy)phenyl and di(C<sub>1-4</sub>alkoxy)pyrimidinyl;

- 15 q is 1, 2 or 3;

R<sup>8</sup> is selected from the group consisting of hydroxy, amino and C<sub>1-4</sub>alkoxy;

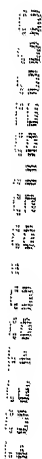
- 20 R<sup>9</sup> is hydrogen or hydroxy;

R<sup>10</sup> is selected from the group consisting of hydrogen, C<sub>1-6</sub>alkyl, C<sub>3-6</sub>cycloalkyl and 1-methyl-1H-imidazol-2-yl; and

- 25 R<sup>11</sup> is C<sub>1-4</sub>alkyl or pyridinyl.

2. A compound of claim 1, including pharmaceutically acceptable salts thereof, wherein:

- 30 Q is



- 5

W is selected from the group consisting of hydrogen,



- 10

4. A compound of claim 3, including pharmaceutically acceptable salts thereof, wherein:

Y is NR.

15

5. A compound of claim 4, including pharmaceutically acceptable salts thereof, wherein:

R is selected from the group consisting of hydrogen, hydroxy,

- 5 -C(O)CH<sub>3</sub>, -C(O)(CH<sub>2</sub>)<sub>2</sub>CO<sub>2</sub>H, -C(O)NHCH<sub>3</sub> and C<sub>1-4</sub>alkyl, in which said C<sub>1-4</sub>alkyl is optionally substituted with one hydroxy or di(C<sub>1-4</sub>alkyl)amino.

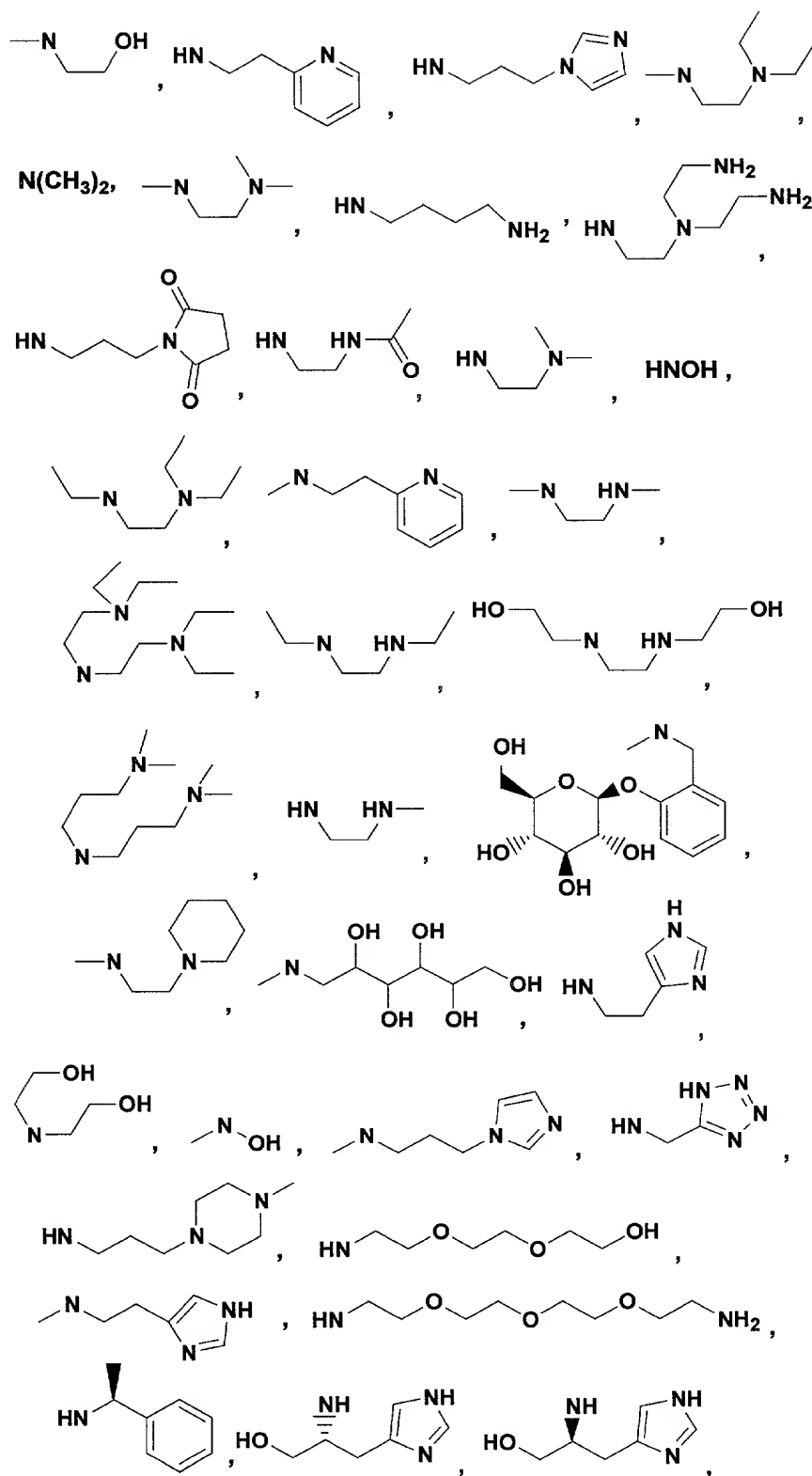
6. A compound of claim 4, including pharmaceutically acceptable salts thereof, wherein:

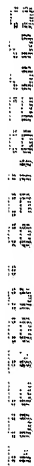
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NRR<sup>1</sup> is selected from the group consisting of:

CT-2564 NP

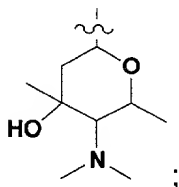






7. A compound of claim 6, including pharmaceutically acceptable salts thereof, wherein:

5 W is



$R^2$  is hydroxy; and

$R^3$  is hydrogen.

10

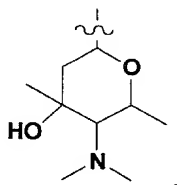
8. A compound of claim 6, including pharmaceutically acceptable salts thereof, wherein:

$R^2$  and  $R^3$  are each hydrogen.

15

9. A compound of claim 8, including pharmaceutically acceptable salts thereof, wherein:

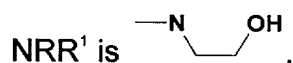
W is



20

10. The compound of claim 9, including pharmaceutically acceptable salts thereof, wherein:

25

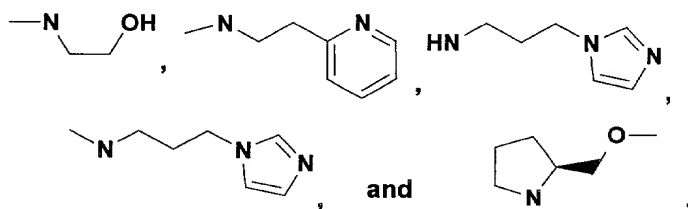


11. A compound of claim 6, including pharmaceutically acceptable salts thereof, wherein:

- 5 W is hydrogen;  
 $R^2$  is hydroxy; and  
 $R^3$  is hydrogen.

12. A compound of claim 11, including pharmaceutically acceptable salts thereof, wherein:

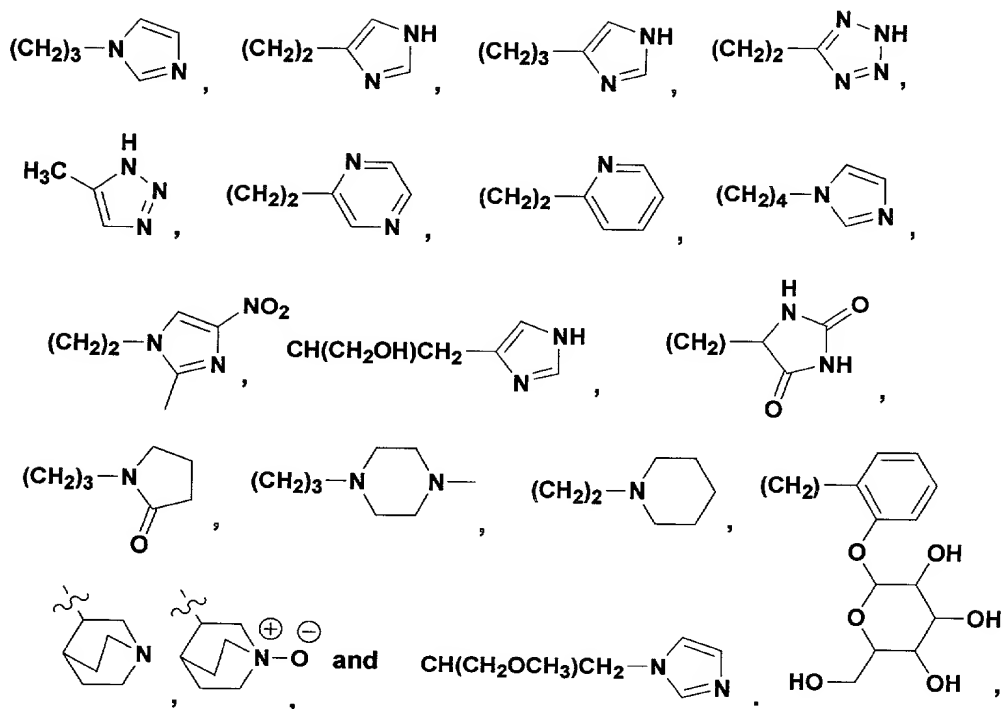
$NRR^1$  is selected from the group consisting of:



13. A compound of claim 3, including pharmaceutically acceptable salts thereof, wherein:

Y is  $S(O)_m$  in which m is 0 or 2;

$R^1$  is selected from the group consisting of  $CH_3$ ,  $CH_2CH_3$ ,  $(CH_2)_2OH$ ,  $CH(CH_3)CH_2OH$ ,  $CH_2[CH(OH)]_4CH_2OH$ ,  $[(CH_2)_2O]_2(CH_2)_2OH$ ,  $[(CH_2)_2O]_2(CH_2)_2OCH_3$ ,  $[(CH_2)_2O]_2(CH_2)_2NH_2$ ,  $[(CH_2)_2O]_2(CH_2)_2N(CH_3)_2$ ,  $CH_2CO_2H$ ,  $(CH_2)_2CO_2H$ ,  $CH(CO_2H)CH_2CO_2H$ ,  $CH_2CH(NHC(O)CH_3)CO_2H$ ,  $(CH_2)_2SO_3H$ ,  $(CH_2)_4NH_2$ ,  $(CH_2)_2N(CH_3)_2$ ,  $(CH_2)_3N(CH_3)_2$ ,  $(CH_2)_2N(CH_2CH_3)_2$ ,  $(CH_2)_2NH(CH_3)$ ,  $(CH_2)_2NH(CH_2CH_3)$ ,  $(CH_2)_2NH(CH_2)_2OH$ ,  $(CH_2)_2N[(CH_2)_2NH_2]_2$ ,  $(CH_2)_2NHC(O)CH_3$ ,

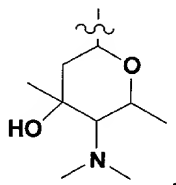


14. A compound of claim 13, including pharmaceutically acceptable salts thereof, wherein:

5

m is 0; and

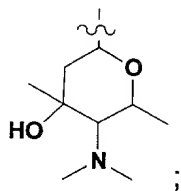
W is hydrogen or



10

15. A compound of claim 14, including pharmaceutically acceptable salts thereof, wherein:

W is



$R^2$  is hydroxy; and

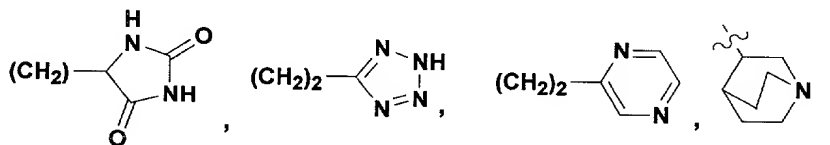
$R^3$  is hydrogen.

5

16. A compound of claim 15, including pharmaceutically acceptable salts thereof, wherein:

$R^1$  is selected from the group consisting of:

- 10  $\text{CH}_2\text{CO}_2\text{H}$ ,  $(\text{CH}_2)_2\text{CO}_2\text{H}$ ,  $\text{CH}(\text{CO}_2\text{H})\text{CH}_2\text{CO}_2\text{H}$ ,  
 $\text{CH}_2\text{CH}(\text{NHC}(\text{O})\text{CH}_3)\text{CO}_2\text{H}$ ,  $(\text{CH}_2)_2\text{SO}_3\text{H}$ ,  
 $(\text{CH}_2)_2\text{N}(\text{CH}_3)_2$ ,  $(\text{CH}_2)_2\text{N}(\text{CH}_2\text{CH}_3)_2$ ,



and  $\text{CH}(\text{CH}_2\text{OCH}_3)\text{CH}_2\text{N}$

- 15 17. A compound of claim 14, including pharmaceutically acceptable salts thereof, wherein:

W is hydrogen;

$R^2$  is hydroxy; and

- 20  $R^3$  is hydrogen.

18. A compound of claim 17, including pharmaceutically acceptable salts thereof, wherein:

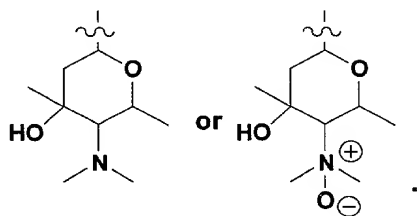
R<sup>1</sup> is CH<sub>2</sub>CO<sub>2</sub>H or (CH<sub>2</sub>)<sub>2</sub>N(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>.

19. A compound of claim 13, including pharmaceutically acceptable salts thereof, wherein:

5

m is 2; and

W is



10

20. A compound of claim 19, including pharmaceutically acceptable salts thereof, wherein:

R<sup>2</sup> is hydroxy; and

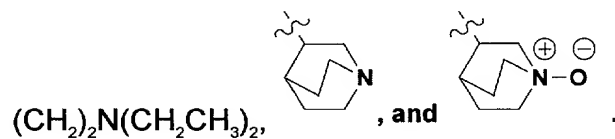
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R<sup>3</sup> is hydrogen.

21. A compound of claim 20, including pharmaceutically acceptable salts thereof, wherein:

20

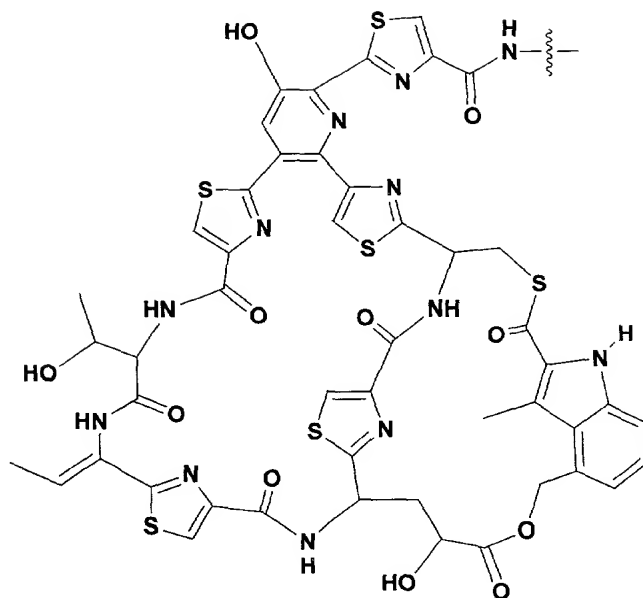
R<sup>1</sup> is selected from the group consisting of:



22. A compound of claim 1, including pharmaceutically acceptable salts thereof, wherein:

25

Q is



23. A compound of claim 22, including pharmaceutically acceptable  
5 salts thereof, wherein:

Y is NR.

24. The compound of claim 23, including pharmaceutically acceptable  
10 salts thereof, wherein:

R is methyl; and

R<sup>1</sup> is 3-(imidazol-1-yl)-propyl.

15

25. A compound of claim 22, including pharmaceutically acceptable  
salts thereof, wherein:

Y is S.

20



26. The compound of claim 25, including pharmaceutically acceptable salts thereof, wherein:

$R^1$  is  $(CH_2)_2N(CH_2CH_3)_2$ .

5

27. A pharmaceutical composition which comprises a therapeutically effective amount of a compound as claimed in any of claims 1-26, and a pharmaceutically acceptable carrier, adjuvant or diluent.

10 28. A method of treating or preventing bacterial or mycobacterial infection by administering to a mammal in need thereof a therapeutically effective amount of a compound or composition as claimed in any of claims 1-26.

15 29. The method of claim 28, wherein said bacterial infection is caused by a gram positive bacteria or a mycobacterium.

30. The method of claim 29, wherein said gram positive bacterial infection or mycobacterial infection is caused by methicillin-resistant

20 *Staphylococcus aureus*, vancomycin-resistant *Staphylococcus aureus*, vancomycin-resistant *Enterococcus faecalis*, vancomycin-resistant *Enterococcus faecium* or *Mycobacteria tuberculosis*.